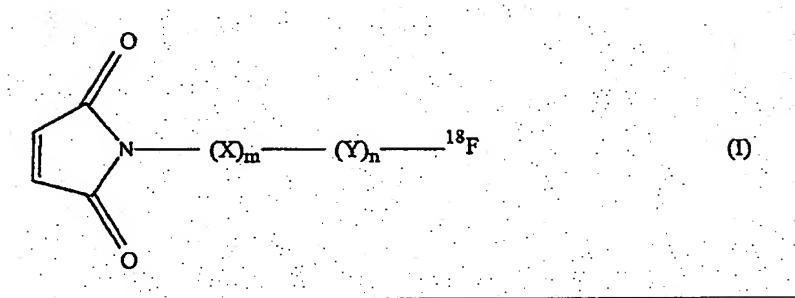


CLAIMS

## 1. Compound of general formula (I):



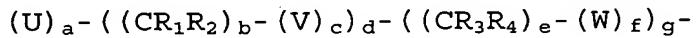
in which:

- m represents an integer from 0 to 10, such as 0, 1, 2, 3, 4, 5, 6, 7, 8, 9 or 10;

- n represents an integer from 1 to 10, such as 1, 2, 3, 4, 5, 6, 7, 8, 9 or 10;

- Y represents a group selected from monocyclic or bicyclic heterocyclic groups selected from imidazolyl, pyrazolyl, benzimidazolyl, pyridinyl, pyridazinyl, pyrimidinyl, pyrazinyl, triazinyl, quinolinyl, isoquinolinyl, cinnolinyl, quinazolinyl, quinoxalinyl and purinyl groups, it being possible for Y, optionally, to be substituted by one or more substituents, each of these substituents being selected independently from hydrogen, halogens, phenyl, C<sub>1</sub>-C<sub>6</sub> alkyl, C<sub>1</sub>-C<sub>6</sub> alkoxy, aryloxy, amino, mono- or di(C<sub>1</sub>-C<sub>6</sub> alkyl)amino, mono- or di(aryl)amino, thio, C<sub>1</sub>-C<sub>6</sub> alkylthio, arylthio, formyl, C<sub>1</sub>-C<sub>6</sub> alkyl-carbonyl, arylcarbonyl, carbonyl, C<sub>1</sub>-C<sub>6</sub> alkoxy-carbonyl, aryloxycarbonyl, C<sub>1</sub>-C<sub>6</sub> alkylamino-carbonyl, arylaminocarbonyl and trifluoromethyl groups;

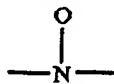
- X represents a radical of formula:



in which:

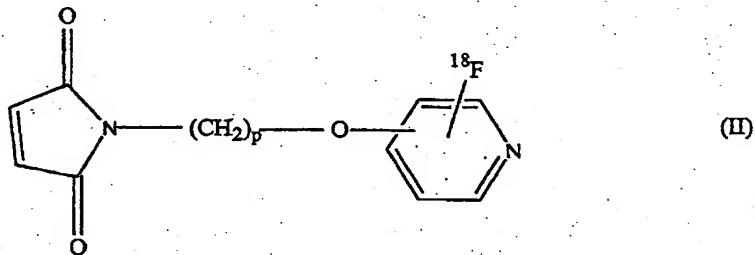
- a, b, c, d, e, f and g represent each independently an integer from 0 to 10, such as 0, 1, 2, 3, 4, 5, 6, 7, 8 or 9;

- U, V and W represent each independently

 -NR<sub>1</sub>-, -O-, -S-, —, ethynyl, -CR<sub>1</sub>=CR<sub>2</sub>-, -(C=O)-, -(C=S)-, -C(=NR<sub>1</sub>)-, -C(=O)O-, -(C=S)S-, -C(=NR<sub>1</sub>)NR<sub>2</sub>-, -CR<sub>1</sub>R<sub>2</sub>-, -CR<sub>1</sub>OR<sub>2</sub>- or -CR<sub>1</sub>NR<sub>2</sub>R<sub>3</sub>-, where R<sub>1</sub>, R<sub>2</sub>, R<sub>3</sub> and R<sub>4</sub> are each independently selected from hydrogen, halogens, phenyl, C<sub>1</sub>-C<sub>6</sub> alkyl, C<sub>1</sub>-C<sub>6</sub> alkoxy, aryloxy, amino, mono- or di(C<sub>1</sub>-C<sub>6</sub> alkyl)amino, mono- or di(aryl)amino, thio, C<sub>1</sub>-C<sub>6</sub> alkylthio, arylthio, formyl, C<sub>1</sub>-C<sub>6</sub> alkyl-carbonyl, arylcarbonyl, carbonyl, C<sub>1</sub>-C<sub>6</sub> alkoxy-carbonyl, aryloxycarbonyl, C<sub>1</sub>-C<sub>6</sub> alkylamino-carbonyl, arylaminocarbonyl and trifluoromethyl groups.

2. Compound of formula (I) according to Claim 1, wherein n = 1 and Y is a 3-pyridinyl group.

3. Compound according to Claim 2, corresponding to the formula (II) below:



in which p is an integer from 1 to 10, such as 2, 3, 4, 5, 6, 7, 8 or 9.

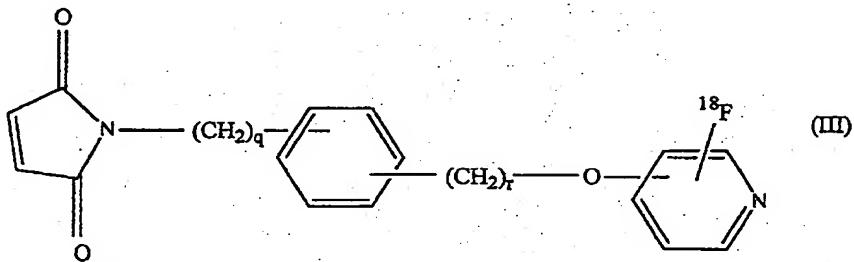
4. Compound of formula (II) according to Claim 3, selected from:

- 1-[2-(2-[<sup>18</sup>F]fluoropyridin-3-yloxy)ethyl]-pyrrole-2,5-dione;
- 1-[4-(2-[<sup>18</sup>F]fluoropyridin-3-yloxy)butyl]-pyrrole-2,5-dione;
- 1-[5-(2-[<sup>18</sup>F]fluoropyridin-3-yloxy)pentyl]-

pyrrole-2,5-dione;

- 1-[6-(2-[<sup>18</sup>F]fluoropyridin-3-yloxy)hexyl]-pyrrole-2,5-dione;
- 1-[(2-[<sup>18</sup>F]fluoropyridin-3-yloxy)methyl]-pyrrole-2,5-dione;
- 1-[3-(2-[<sup>18</sup>F]fluoropyridin-3-yloxy)propyl]-pyrrole-2,5-dione.

5. Compound according to Claim 2, corresponding to the formula (III) below:

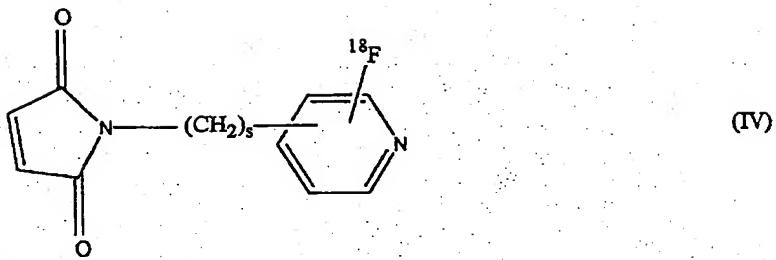


in which q and r represent independently an integer from 0 to 10, such as 0, 1, 2, 3, 4, 5, 6, 7, 8 or 9.

6. Compound of formula (III) according to Claim 5, selected from:

- 1-{4-[2-(2-[<sup>18</sup>F]fluoropyridin-3-yloxy)-ethyl]phenyl}pyrrole-2,5-dione;
- 1-[4-(2-[<sup>18</sup>F]fluoropyridin-3-yloxymethyl)-phenyl]pyrrole-2,5-dione;
- 1-[4-(2-[<sup>18</sup>F]fluoropyridin-3-yloxymethyl)-benzyl]pyrrole-2,5-dione.

7. Compound according to Claim 2, corresponding to the formula (IV) below:

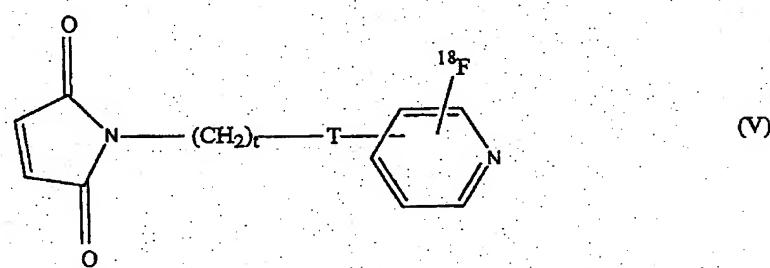


in which s is an integer from 1 to 10, such as 2, 3, 4, 5, 6, 7, 8 or 9.

8. Compound of formula (IV) according to Claim 7, being:

- 1-[3-(6-[<sup>18</sup>F]fluoropyridin-3-yl)propyl]-pyrrole-2,5-dione.

9. Compound according to Claim 2, corresponding to the formula (V) below:



in which t is an integer from 0 to 10, such as 1, 2, 3, 4, 5, 6, 7, 8 or 9, and T is a -CH=CH- or -C≡C- group.

10. Compound according to Claim 9, selected from:

- 1-[3-(6-[<sup>18</sup>F]fluoropyridin-3-yl)allyl]-pyrrole-2,5-dione;
- 1-[3-(6-[<sup>18</sup>F]fluoropyridin-3-yl)prop-2-ynyl]pyrrole-2,5-dione.

11. Use of a compound according to any one of Claims 1 to 10 for labelling a macromolecule.

12. Use according to Claim 11, wherein the said

macromolecule is selected from oligonucleotides, proteins, antibodies and peptides.

13. Use according to either of Claims 11 and 12, wherein the macromolecule is a macromolecule for recognition of a specific site.

14. Use according to Claim 13, wherein the said specific site is selected from sites exhibiting target molecules specific of a disease, such as apoptosis sites, necrosis sites or tumour-area sites.

15. Complex comprising a macromolecule coupled to a compound according to any one of Claims 1 to 10.

16. Complex according to Claim 15, wherein the said macromolecule is selected from oligonucleotides, proteins, antibodies and peptides.

17. Complex according to Claim 16, wherein the said coupling is carried out by reacting the double bond of the maleimido group with, specifically, an -SH function of cysteine, in the case of a peptide, or a phosphorothioate function, in the case of an oligonucleotide.

18. Complex according to any one of Claims 15 and 17, wherein the macromolecule is a macromolecule for recognition of a specific site.

19. Complex according to Claim 18, wherein the said specific site is selected from sites exhibiting target molecules specific of a disease, such as apoptosis sites, necrosis sites or tumour-area sites.

20. Detection and analysis kit, for medical imaging, for example, comprising a compound according to any one of Claims 1 to 10 and a macromolecule.

21. Detection and analysis kit, for medical imaging, for example, comprising a complex according to any one of Claims 15 to 19 coupled to a macromolecule.

22. Diagnosis kit comprising a compound according to any one of Claims 1 to 10 and a macro-

molecule.

23. Diagnosis kit comprising a complex according to any one of Claims 15 to 19.

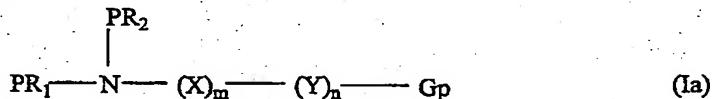
24. Use of a complex according to any one of Claims 15 to 19 or of a compound according to any one of Claims 1 to 10 in a medical imaging process, such as positron emission tomography (PET).

25. Use of a complex according to any one of Claims 15 to 19 or of a compound according to any one of Claims 1 to 10 for manufacturing a product intended for medical imaging, for example for positron emission tomography (PET).

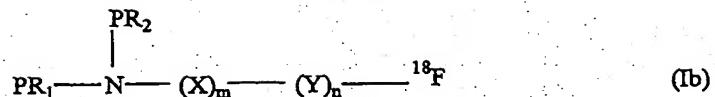
26. Product for medical imaging, especially positron emission tomography, comprising a complex according to any one of Claims 15 to 19 or a compound according to any one of Claims 1 to 10 with a pharmaceutically acceptable vehicle.

27. Process for preparing a compound of formula (I) according to any one of Claims 1 to 10, wherein:

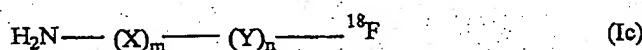
a) a precursor compound of formula (Ia):



in which  $\text{PR}_1$  and  $\text{PR}_2$  represent independently a hydrogen atom or a protective group for the amine function, with the proviso that  $\text{PR}_1$  and  $\text{PR}_2$  are not both a hydrogen atom, or else  $\text{PR}_1$  and  $\text{PR}_2$ , together with the nitrogen atom, form a cyclic protective group for the amine function,  $\text{Gp}$  represents a leaving group capable of being replaced by a fluorine-18 atom, and  $\text{X}$ ,  $\text{Y}$ ,  $\text{m}$  and  $\text{n}$  are as already defined in Claim 1, is contacted with a source of  $[^{18}\text{F}]$ -labelled fluoride ions  $\text{F}^-$  to give a compound of formula (Ib):



b) the protective group(s) PR<sub>1</sub> and/or PR<sub>2</sub> is or are removed from the amine function in the compound (Ib), to give a compound of formula (Ic):



c) the compound (Ic) is reacted with a reactant capable of giving a maleimido group from an amino group, to give the final compound of formula (I).

28. Process according to Claim 27, wherein the groups PR<sub>1</sub> and PR<sub>2</sub>, when they are protective groups, are selected from the groups tert-butoxycarbonyl (BOC) and fluorenylmethoxycarbonyl (FMOC) or else, together with the nitrogen atom of the amine function, they form a phthalamido group.

29. Process according to either of Claims 27 and 28, wherein the group Gp is selected from halogens, such as F, Cl, Br and I, mesyl, tosyl and triflate groups, when Y is an alkyl group; and from halogens, ammonium salts, such as trimethylammonium trifluoromethanesulphonate, and the nitro group, when Y is an aromatic or heterocyclic group.

30. Process according to any one of Claims 27 to 29, wherein, in step a), the source of <sup>18</sup>F-labelled fluoride ions comprises the said fluoride ions and a counterion selected from large-sized cations, such as rubidium and tetrabutylammonium, and small-sized cations, such as potassium, sodium and lithium, the said small-sized cations being stabilized by a cryptand or a crown ether adapted to the said small-sized cation.

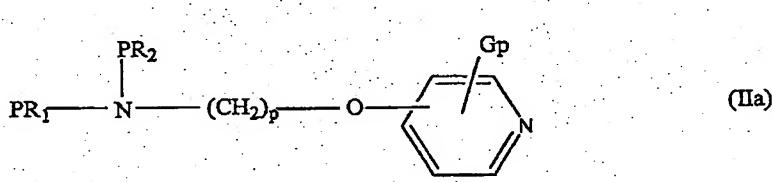
31. Process according to any one of Claims 27 to 30, wherein step b) is carried out by contacting the compound (Ib) with TFA in  $\text{CH}_2\text{Cl}_2$  for a time of from 1 to 5 minutes.

32. Process according to any one of Claims 27 to 31, wherein the reactant capable of giving a maleimido group from an amino group, in step c), is selected from N-methoxycarbonylmaleimide and succinimide.

33. Process according to any one of Claims 27 to 32, wherein step c) is carried out in a solvent such as xylene or THF, with heating at a temperature of from 100 to 200°C, for example 190°C, for a time of from 1 to 20 minutes, for example 5 minutes.

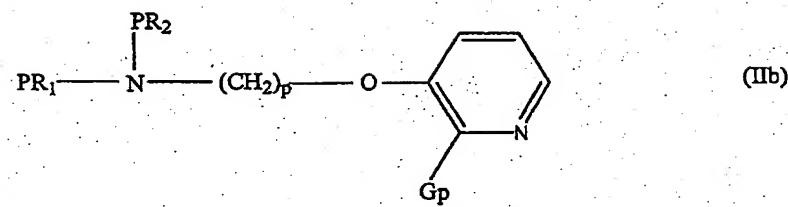
34. Process according to any one of Claims 27 to 32, in which step c) is carried out in a two-phase mixture, for example of dioxane and aqueous sodium bicarbonate, at ambient temperature for a time of from 3 to 15 minutes, for example 10 minutes.

35. Process according to any one of Claims 25 to 34, wherein the compound of formula (Ia) corresponds to the formula (IIa) below:

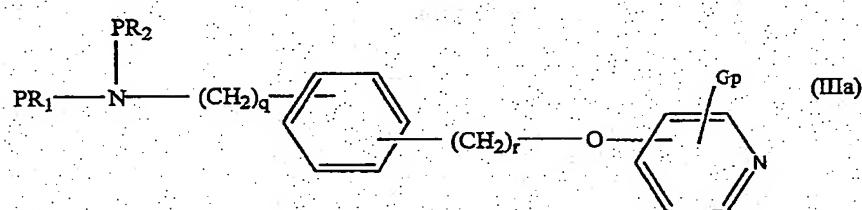


in which p is as already defined in Claim 3.

36. Process according to Claim 35, wherein the compound of formula (IIa) corresponds to the formula (IIb) below:

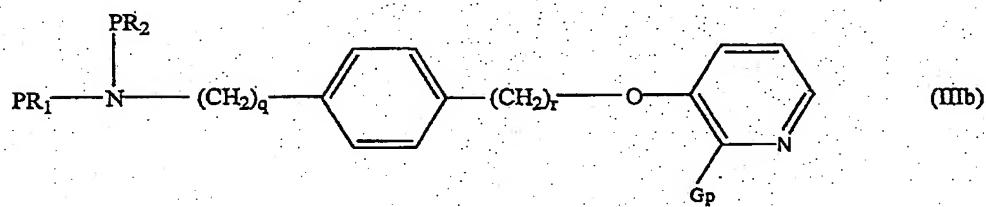


37. Process according to any one of Claims 27 to 34, wherein the compound of formula (Ia) corresponds to the formula (IIIa) below:

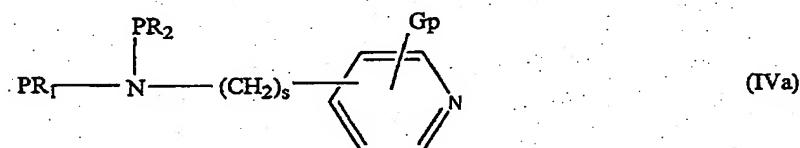


in which q and r are as already defined in Claim 5.

38. Process according to Claim 37, wherein the compound of formula (IIIa) corresponds to the formula (IIIb) below:

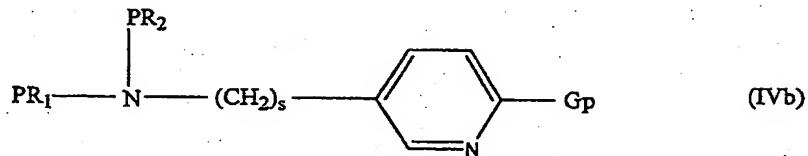


39. Process according to any one of Claims 27 to 34, wherein the compound of formula (Ia) corresponds to the formula (IVa) below:

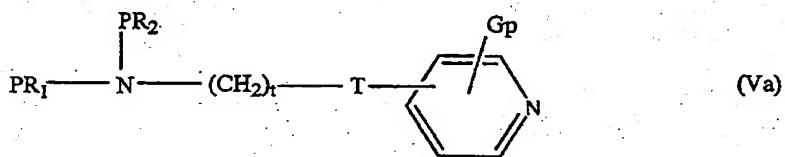


in which s is as already defined in Claim 7.

40. Process according to Claim 39, in which the compound of formula (IVa) corresponds to the formula (IVb) below:

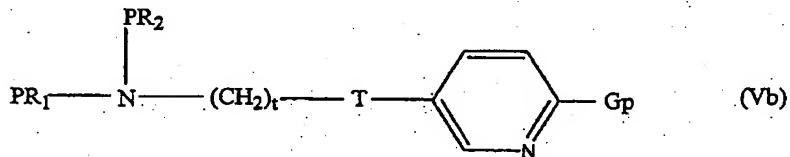


41. Process according to any one of Claims 27 to 34, wherein the compound of formula (Ia) corresponds to the formula (Va) below:



in which t and T are as already defined in Claim 9.

42. Process according to Claim 41, wherein the compound of formula (Va) corresponds to the formula (Vb) below:



43. Precursor compound of formula (Ia), as defined in any of Claims 27 to 29.

44. Precursor compound of formula (IIa), (IIb), (IIIa), (IIIb), (IVa), (IVb), (Va) or (Vb), as defined, respectively, in Claims 35, 36, 37, 38, 39, 40, 41 and 42.

45. Precursor compound to a compound of general formula (I), which is selected from compounds of Claims

4, 6, 8 and 10 in which the [<sup>18</sup>F] is replaced by a non-radioactive halogen, such as <sup>19</sup>F, Cl, Br or I, an ammonium salt, such as trimethylammonium trifluoromethanesulphonate, or an -NO<sub>2</sub> group and the 1-pyrrole-2,5-dione group is replaced by a tert-butoxycarbonylamino group.

46. Precursor compound according to Claim 45, being [3-(3-tert-butoxycarbonylaminopropoxy)pyridin-2-yl]trimethylammonium trifluoromethanesulphonate or the tert-butyl ester of [3-(2-nitropyridin-3-yloxy)propyl]carbamic acid.